

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
29 July 2004 (29.07.2004)

PCT

(10) International Publication Number
WO 2004/063166 A1

(51) International Patent Classification⁷: **C07D 231/12**,
253/04, A61K 31/415, A61P 9/00, 3/00, C07D 261/08,
233/20, 233/22

Circle, Carmel, IN 46032 (US). **ZHU, Guoxin** [CN/US];
9972 Parkshore Drive, Noblesville, IN 46060 (US).

(21) International Application Number:
PCT/US2003/039119

(74) Agents: **VORNDRAN-JONES, MaCharri et al.**; ELI
LILLY AND COMPANY, P. O. Box 6288, Indianapolis, IN
46206-6288 (US).

(22) International Filing Date:
31 December 2003 (31.12.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/438,563 6 January 2003 (06.01.2003) US

(71) Applicant (for all designated States except US): **ELI
LILLY AND COMPANY** [US/US]; Lilly Corporate
Center, Indianapolis, IN 46285 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **CONNER, Scott**,
Eugene [US/US]; 8426 Carefree Circle, Indianapolis,
IN 46236 (US). **MA, Tianwei** [CN/US]; 5676 Sapphire
Drive, Carmel, IN 46033 (US). **MANTLO, Nathan**,
Bryan [US/US]; 7325 East County Road 800 North,
Brownsburg, IN 46112 (US). **MAYHUGH, Daniel**,
Ray [US/US]; 9681 Troon Court, Carmel, IN 46032
(US). **SCHKERYANTZ, Jeffrey, Michael** [US/US];
9841 Deering Street, Fishers, IN 46038 (US). **WAR-
SHAWSKY, Alan, M.** [US/US]; 13828 Springmill Ponds

(81) Designated States (national): AE, AG, AL, AM, AT (util-
ity model), AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ,
CA, CH, CN, CO, CR, CU, CZ (utility model), CZ, DE
(utility model), DE, DK (utility model), DK, DM, DZ, EC,
EE (utility model), EE, EG, ES, FI (utility model), FI, GB,
GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG,
KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG,
MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL,
PT, RO, RU, SC, SD, SE, SG, SK (utility model), SK, SL,
SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN,
YU, ZA, ZM, ZW.

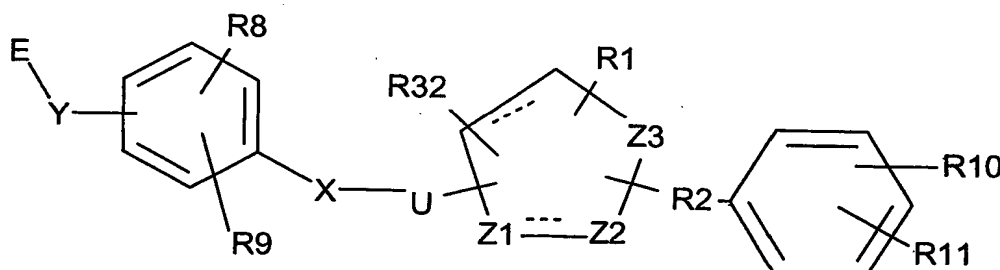
(84) Designated States (regional): ARIPO patent (BW, GH,
GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE,
SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA,
GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: HETEROCYCLIC PPAR MODULATORS



(57) Abstract: The present invention is directed to compounds represented by the following structural formula, Formula I: wherein:
(a) X is selected from the group consisting of a single bond, O, S, S(O)₂ and N; (b) U is an aliphatic linker; (c) Y is selected from the group consisting of O, C, S, NH and a single bond; (d) E is C(R₃)(R₄)A or A and wherein (i) A is selected from the group consisting of carboxyl, tetrazole, C1-C6 alkynitrile, carboxamide, sulfonamide and acylsulfonamide; (e) Z1 and Z2 are each independently selected from the group consisting of N, O, and C with the proviso that at least one of Z1 and Z2 is N; (f) Z3 is selected from the group consisting of hydrogen, C1-C6 alkyl, C1-C4 alkylenyl and halo; (g) R8 is selected from the group consisting of hydrogen, C1-C4 alkyl, C1-C4 alkylenyl, halo, aryl- C0-C4 alkyl, heteroaryl, C1-C6 alkyl, and OR₂₉.

CORRECTED VERSION

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
29 July 2004 (29.07.2004)

PCT

(10) International Publication Number
WO 2004/063166 A1

(51) International Patent Classification⁷: C07D 231/12,
253/04, A61K 31/415, A61P 9/00, 3/00, C07D 261/08,
233/20, 233/22

(21) International Application Number:
PCT/US2003/039119

(22) International Filing Date:
31 December 2003 (31.12.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/438,563 6 January 2003 (06.01.2003) US

(71) Applicant (for all designated States except US): ELI
LILLY AND COMPANY [US/US]; Lilly Corporate
Center, Indianapolis, IN 46285 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): CONNER, Scott,
Eugene [US/US]; 8426 Carefree Circle, Indianapolis,
IN 46236 (US). MA, Tianwei [CN/US]; 5676 Sapphire
Drive, Carmel, IN 46033 (US). MANTLO, Nathan,
Bryan [US/US]; 7325 East County Road 800 North,
Brownsburg, IN 46112 (US). MAYHUGH, Daniel,
Ray [US/US]; 9681 Troon Court, Carmel, IN 46032
(US). SCHKERYANTZ, Jeffrey, Michael [US/US];
9841 Deering Street, Fishers, IN 46038 (US). WAR-
SHAWSKY, Alan, M. [US/US]; 13828 Springmill Ponds
Circle, Carmel, IN 46032 (US). ZHU, Guoxin [CN/US];
9972 Parkshore Drive, Noblesville, IN 46060 (US).

(74) Agents: VORNDRAJN-JONES, MaCharri et al.; ELI
LILLY AND COMPANY, P. O. Box 6288, Indianapolis, IN
46206-6288 (US).

(81) Designated States (national): AE, AG, AL, AM, AT (util-
ity model), AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ,
CA, CH, CN, CO, CR, CU, CZ (utility model), CZ, DE
(utility model), DE, DK (utility model), DK, DM, DZ, EC,

EE (utility model), EE, EG, ES, FI (utility model), FI, GB,
GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG,
KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG,
MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL,
PT, RO, RU, SC, SD, SE, SG, SK (utility model), SK, SL,
SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN,
YU, ZA, ZM, ZW.

(84) Designated States (regional): ARIPO patent (BW, GH,
GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE,
SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA,
GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:

— as to applicant's entitlement to apply for and be granted
a patent (Rule 4.17(ii)) for the following designations AE,
AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ,
CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE,
EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN,
IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV,
MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,
TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM,
ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, SD,
SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG,
CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT,
LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
TG)

— as to the applicant's entitlement to claim the priority of the
earlier application (Rule 4.17(iii)) for the following des-
ignations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR,
BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM,
DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU,
ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO,
NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL,
SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA,
ZM, ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ,

[Continued on next page]

(54) Title: HETEROCYCLIC PPAR MODULATORS

(57) Abstract: The present invention is directed to compounds represented by the following structural formula, Formula I: wherein:
(a) X is selected from the group consisting of a single bond, O, S, S(O)₂ and N; (b) U is an aliphatic linker; (c) Y is selected from the
group consisting of O, C, S, NH and a single bond; (d) E is C(R₃)(R₄)A or A and wherein (i) A is selected from the group consisting
of carboxyl, tetrazole, C1-C6 alkynitrile, carboxamide, sulfonamide and acylsulfonamide; (e) Z1 and Z2 are each independently
selected from the group consisting of N, O, and C with the proviso that at least one of Z1 and Z2 is N; (f) Z3 is selected from the
group consisting of N, O, and C. (g) R8 is selected from the group consisting of hydrogen, C1-C6 alkyl, C1-C4 alkylenyl and halo;
(h) R9 is selected from the group consisting of hydrogen, C1-C4 alkyl, C1-C4 alkylenyl, halo, aryl- C0-C4 alkyl, heteroaryl, C1-C6
alkyl, and OR₂₉.



SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)

(48) Date of publication of this corrected version:

3 March 2005

(15) Information about Correction:

see PCT Gazette No. 09/2005 of 3 March 2005, Section II

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.